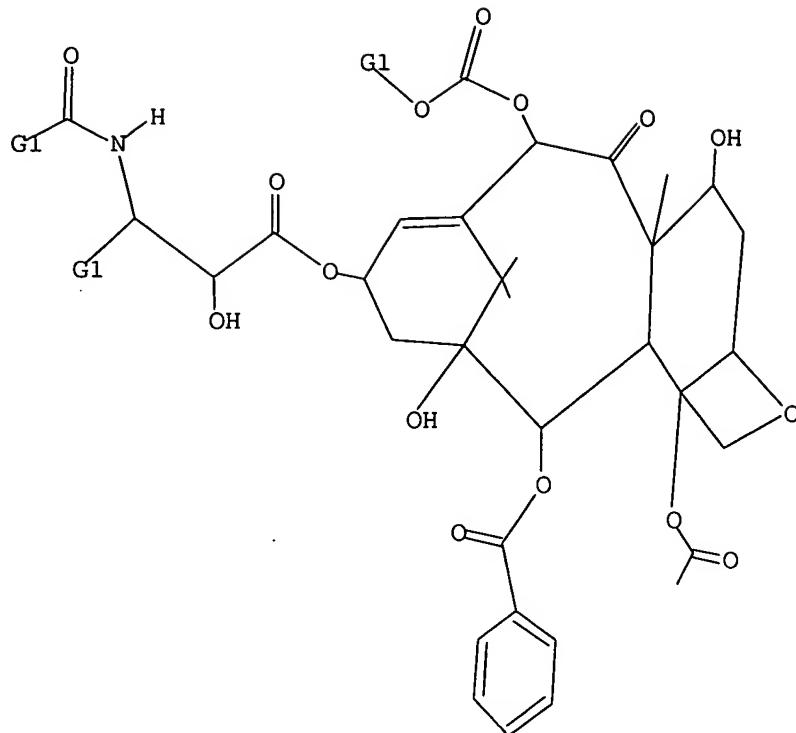


5/09/05

STR



G1 Me, Et, n-Bu, i-Bu, Ph, Cb, Cy, Hy, Ak

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 16:23:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 956 TO ITERATE
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100.0% PROCESSED 956 ITERATIONS 21 ANSWERS
SEARCH TIME: 00.00.01
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L2 21 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE TOTAL
COST ESTIMATED ENTRY SESSION
161.33 161.54
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FILE COVERS 1907 - 11 Mar 2005 VOL 142 ISS 12
FILE LAST UPDATED: 10 Mar 2005 (20050310/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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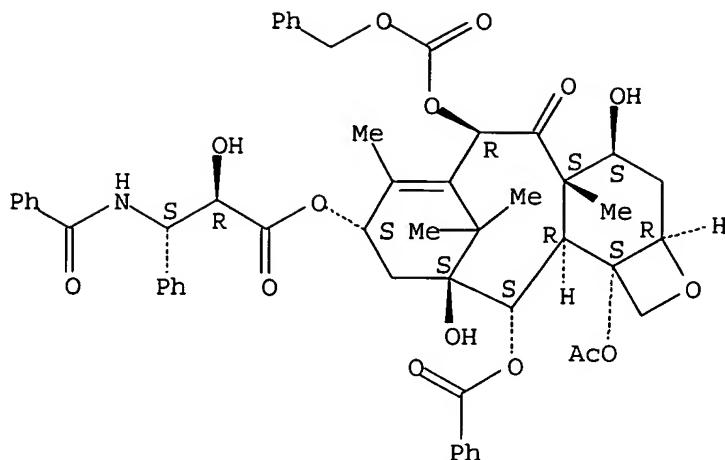
L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AB A series of 10-acyl and 7,10-diacyl paclitaxel analogs have been synthesized using a solid phase combinatorial chemical approach, and a second series of 7-acyl-10-deacetylpaclitaxel analogs have been prepared by conventional chemical. In the first series, 10-deacetylpaclitaxel was linked through its 2'-hydroxyl group using 1% polystyrene-divinyl benzene resin functionalized with butyldiethylsilane linker (PS-DES) and then acylated at the C-10 hydroxyl group with various anhydrides and dialkyl dicarbonates in the presence of CeCl₃. The resin-bound C-10 acylated paclitaxel derivs. were then treated with various carboxylic acids in the presence of 1,3-diisopropylcarbodiimide in toluene to provide polymer-supported 7,10-diacylpaclitaxels. These 7-acyl- and 7,10-diacylpaclitaxels were cleaved from the resin to give the 24 paclitaxel analogs. Nine 7-acyl-10-deacetylpaclitaxel analogs were also prepared by conventional chemical Methodol. to determine the tubulin-assembly activity of compds. prepared in small quantities by a combinatorial approach has been developed, and four analogs with improved tubulin-assembly activity as compared with paclitaxel were found, together with two analogs with improved cytotoxicity against ovarian cancer cells.

IT 455252-32-1P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (solid phase synthesis of combinatorial library of acyl and diacylpaclitaxel derivs., their cytotoxicity against ovarian cancer cells, and tubulin-assembly activity)

RN 455252-32-1 CAPLUS

CN Benzenepropanoic acid, β -(benzoylamino)- α -hydroxy-, (2aR,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-6-[(phenylmethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **455252-32-1DP**, resin-bound

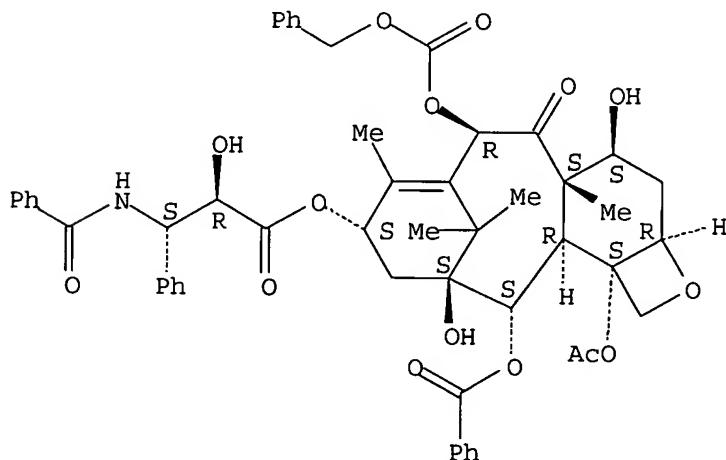
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of combinatorial library of acyl and diacylpaclitaxel derivs., their cytotoxicity against ovarian cancer cells, and tubulin-assembly activity)

RN 455252-32-1 CAPLUS

CN Benzenepropanoic acid, β -(benzoylamino)- α -hydroxy-, (2aR,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-6-[(phenylmethoxy)carbonyloxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER:

2002:515158 CAPLUS

DOCUMENT NUMBER:

137:217096

TITLE:

Design and Synthesis of a Combinatorial Chemistry Library of 7-Acyl, 10-Acyl, and 7,10-Diacyl Analogues of Paclitaxel (Taxol) Using Solid Phase Synthesis

Jagtap, Prakash G.; Baloglu, Erkan; Barron, Donna M.; Bane, Susan; Kingston, David G. I.

AUTHOR(S):

CORPORATE SOURCE:

Department of Chemistry, Virginia Polytechnic Institute and State University, Blacksburg, VA, 24061, USA

SOURCE:

Journal of Natural Products (2002), 65(8), 1136-1142

CODEN: JNPRDF; ISSN: 0163-3864

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:217096

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

AB Compns. and methods are provided for use in the treatment of cancer. A method for the treatment of cancer is provided comprising administration of 4-desacetyl-4-methylcarbonate taxol and doxorubicin to a patient in need thereof. Surprisingly, it has been found that 4-desacetyl 4-Me carbonate taxol does not stimulate formation of cardiotoxic metabolic doxorubicin byproducts. Also provided with the present invention is a chemotherapeutic composition comprising a chemotherapeutically effective amount of 4-desacetyl 4-Me carbonate taxol and doxorubicin. In a further embodiment of the invention, the chemotherapeutic composition is disposed within a pharmaceutically acceptable carrier. Alternatively, each agent, 4-desacetyl 4-Me carbonate taxol and doxorubicin may be formulated sep. to facilitate sequential administration of the compns.

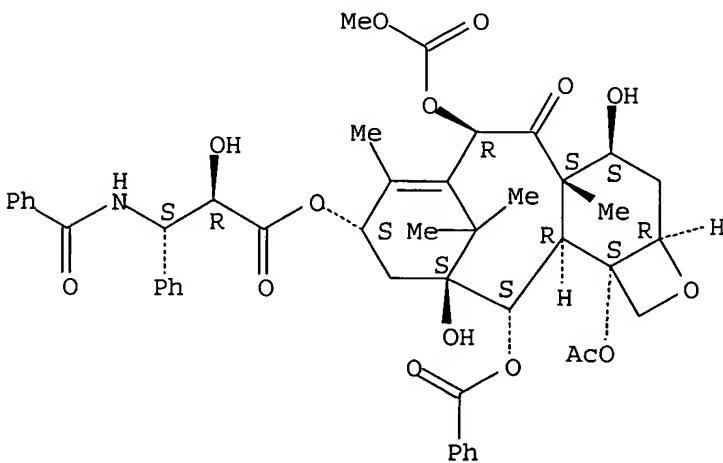
IT 160084-82-2

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (method for reducing cardiotoxicity of combined chemotherapies using desacetyl methylcarbonatetaxol in relation to formation of doxorubicin toxic metabolites)

RN 160084-82-2 CAPLUS

CN Benzenepropanoic acid, β -(benzoylamino)- α -hydroxy-, (2aR,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-6-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 2002:240547 CAPLUS

DOCUMENT NUMBER: 136:257231

TITLE: Method for reducing toxicity of combined chemotherapies

INVENTOR(S): Minotti, Giorgio; Gianni, Luca

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 24 pp.

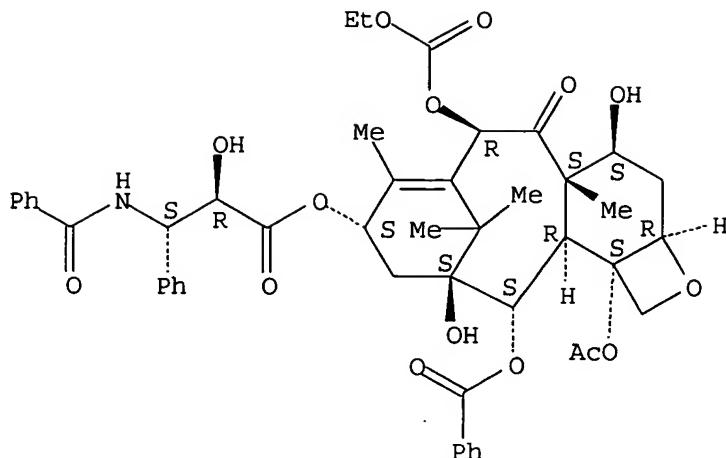
CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

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WO 2002024179	A2	20020328	WO 2001-US27620	20010906
WO 2002024179	A3	20030313		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422964	AA	20020328	CA 2001-2422964	20010906
AU 2001088805	A5	20020402	AU 2001-88805	20010906
EP 1318794	A2	20030618	EP 2001-968565	20010906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004525860	T2	20040826	JP 2002-528215	20010906
US 2002049170	A1	20020425	US 2001-954953	20010918
NO 2003001309	A	20030508	NO 2003-1309	20030321
US 2004077561	A1	20040422	US 2003-728015	20031204
PRIORITY APPLN. INFO.:				
US 2000-234496P P 20000922				
WO 2001-US27620 W 20010906				
US 2001-954953 A3 20010918				

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
 AB A library with 63 paclitaxel analogs modified at the C10 position of paclitaxel has been prepared using parallel solution phase synthesis. Most of the C10 analogs were slightly less active than paclitaxel in the tubulin assembly assay and had reduced potency in the B16 melanoma and MCF-7 cell line cytotoxicity assays. These modifications at C10, however, did not lead to the total loss of activity, indicating that the C10 moiety of paclitaxel may not be directly involved in the drug-microtubule interactions, but could influence its binding affinity to P-glycoprotein. Approx. 50% of the analogs demonstrated better activity against the drug resistant cell line MCF7-ADR. However, the increase in activity was 10-fold at most. This result demonstrates that the cytotoxicity against this drug resistant cancer cell line is sensitive to structural changes at the C10 position of paclitaxel. It was also found that the presence of a nitrogen atom in the C10 substituent might play a role in the interaction of analogs with microtubules.
 IT 459427-11-3P
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and systematic antitumor SAR study of C10-modified paclitaxel analogs using a combinatorial approach)
 RN 459427-11-3 CAPLUS
 CN Benzenepropanoic acid, β -(benzoylamino)- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetoxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER:

2002:201423 CAPLUS

DOCUMENT NUMBER:

137:226272

TITLE:

A systematic SAR study of C10 modified paclitaxel analogues using a combinatorial approach

AUTHOR(S) :

Liu, Yanbin; Ali, Syed M.; Boge, Thomas C.; Georg, Gunda I.; Victory, Samuel; Zygmunt, Jan; Marquez, Rebecca T.; Himes, Richard H.

CORPORATE SOURCE:

Department of Medicinal Chemistry, and the Drug Discovery Program, Higuchi Biosciences Center, University of Kansas, Lawrence, KS, 66045, USA

SOURCE:

Combinatorial Chemistry and High Throughput Screening (2002), 5(1), 39-48

CODEN: CCHSFU; ISSN: 1386-2073

PUBLISHER:

Bentham Science Publishers

DOCUMENT TYPE:

Journal

LANGUAGE:

English

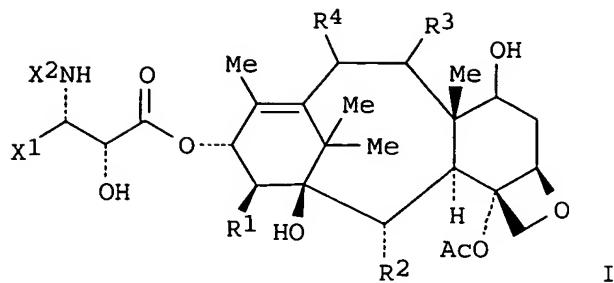
OTHER SOURCE(S) :

CASREACT 137:226272

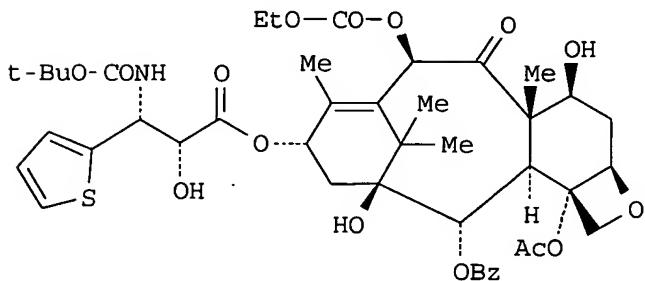
REFERENCE COUNT:

27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I



II

AB Taxanes of formula I [R1 = H, OH; R2 = acyloxy, OH, acyloxy; R3 = carbonate, X1 = alkyl, alkenyl, alkynyl, heterocyclyl; X2 = acyl, CO2alkyl, CO2heterocyclyl, etc.], having a carbonate substituent at C(10), are prepared as antitumor agents. Thus, II was prepared and had in vitro cytotoxicity of ID50 of < 1 nm against HCT116 cells. Pharmaceutical compns. containing I are described.

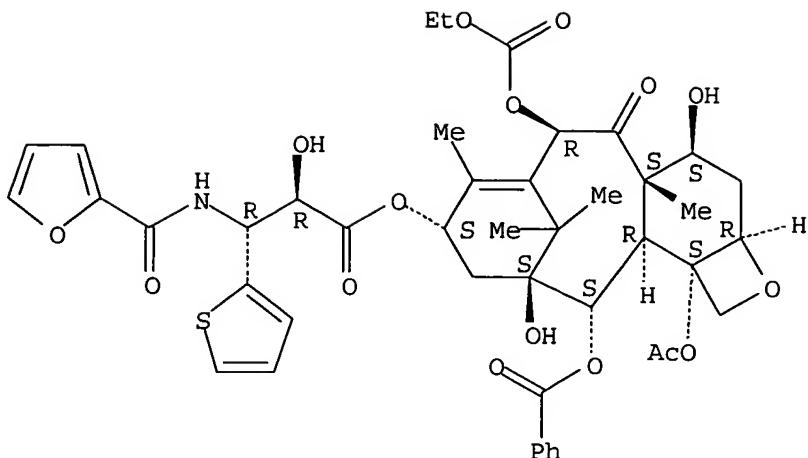
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 352534-41-9P 352534-43-1P 352534-45-3P
 352534-46-4P 352534-47-5P 352534-48-6P
 352534-50-0P 352534-51-1P 352534-62-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and formulation of C10 carbonate substituted taxanes as antitumor agents)

RN 352534-31-7 CAPLUS

CN 2-Thiophene propanoic acid, β -[(2-furanylcarbonyl)amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetoxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R) - (9CI) (CA INDEX NAME)

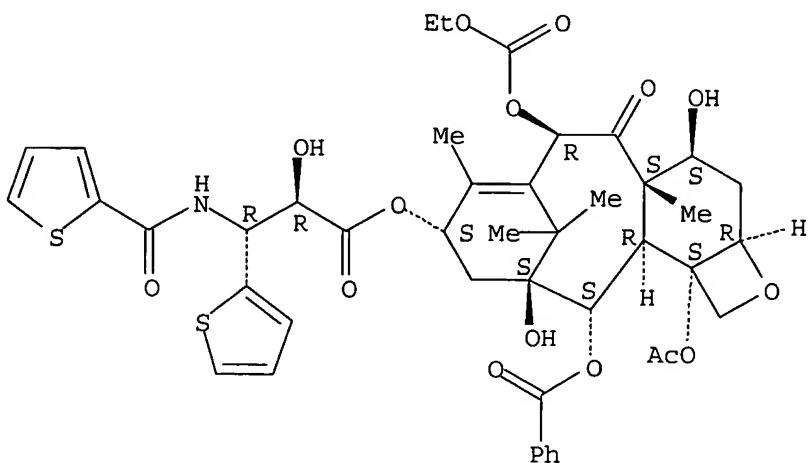
Absolute stereochemistry.



RN 352534-32-8 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(2-thienylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

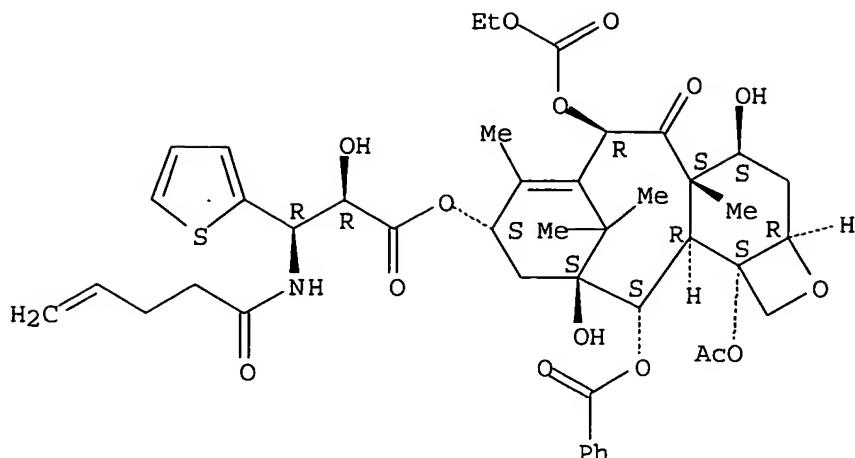
Absolute stereochemistry.



RN 352534-33-9 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(1-oxo-4-pentenyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

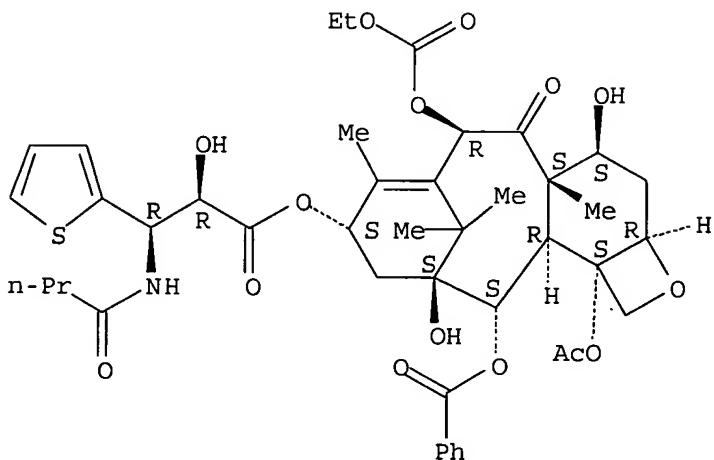
Absolute stereochemistry.



RN 352534-34-0 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(1-oxobutyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

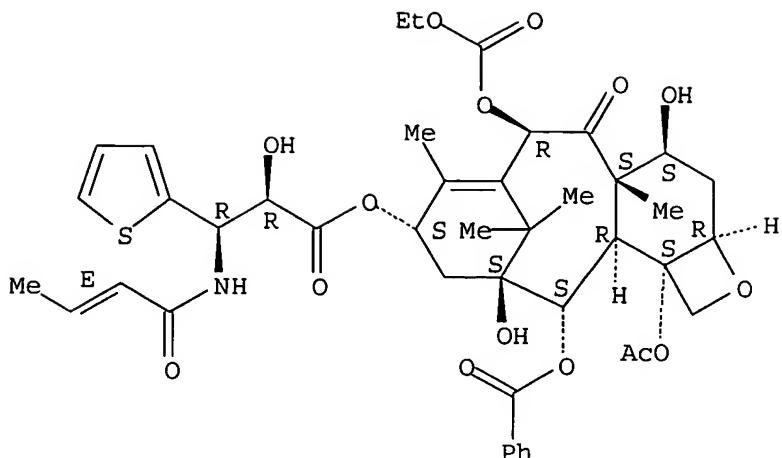


RN 352534-36-2 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

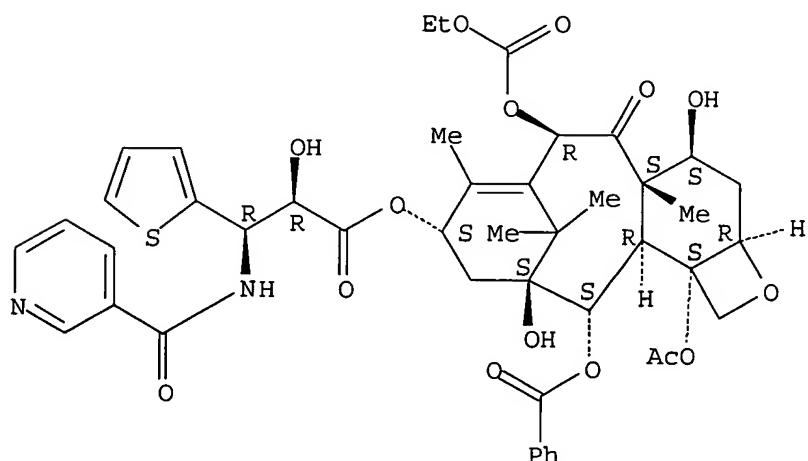
Double bond geometry as shown.



RN 352534-37-3 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(3-pyridinylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

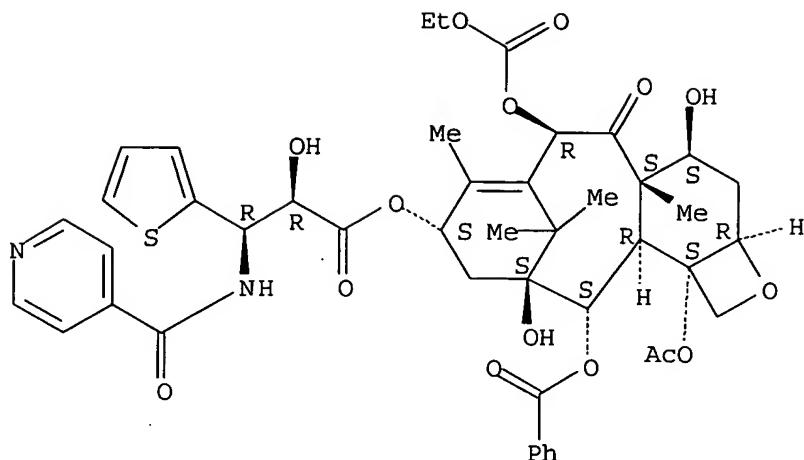
Absolute stereochemistry.



RN 352534-38-4 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(4-pyridinylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

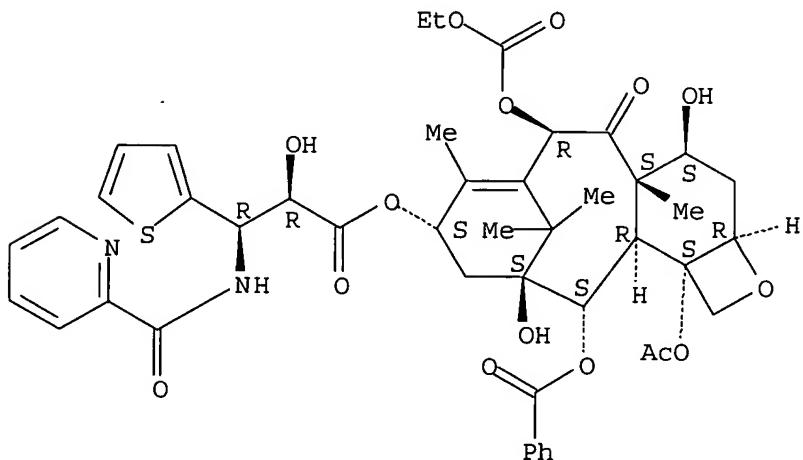
Absolute stereochemistry.



RN 352534-39-5 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(2-pyridinylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

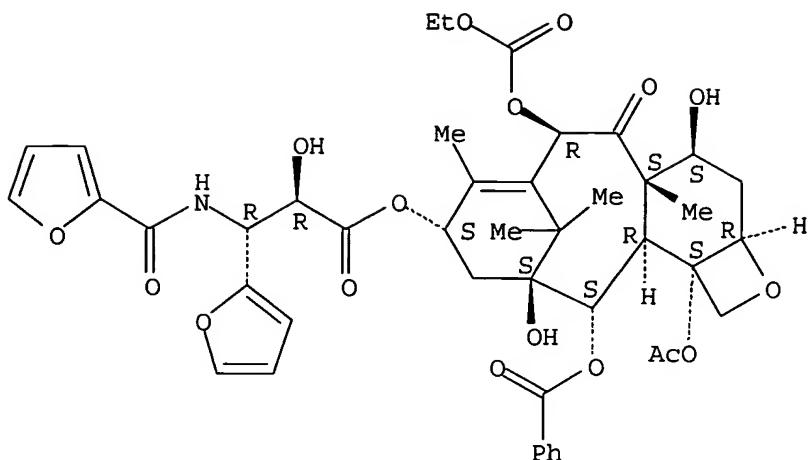
Absolute stereochemistry.



RN 352534-40-8 CAPLUS

CN 2-Furanpropanoic acid, β -[(2-furanylcarbonyl)amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

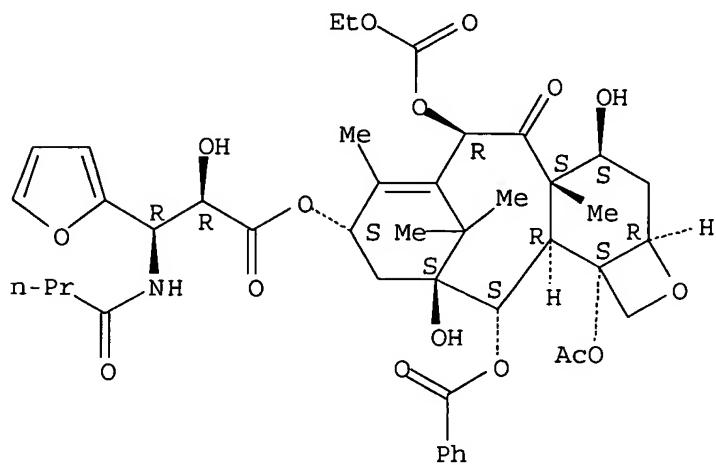
Absolute stereochemistry.



RN 352534-41-9 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(1-oxobutyl)amino]-, (2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 11-dihydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-7, 11-methano-1H-cyclodeca[3, 4]benz[1, 2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

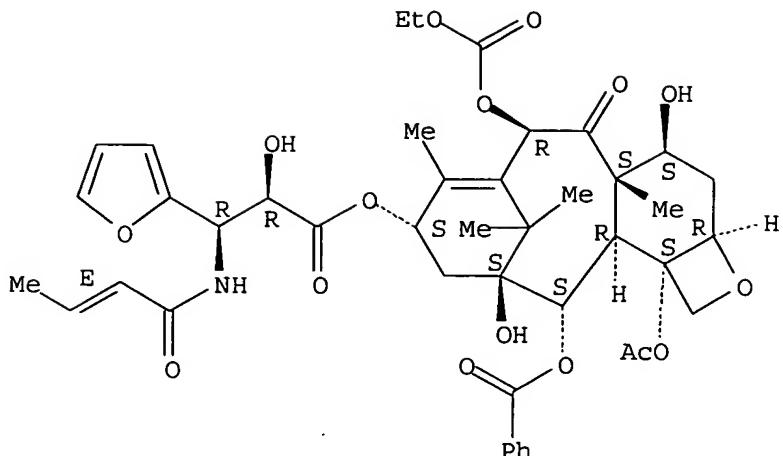


RN 352534-43-1 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(2E)-1-oxo-2-butenylamino]-, (2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 11-dihydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-7, 11-methano-1H-cyclodeca[3, 4]benz[1, 2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

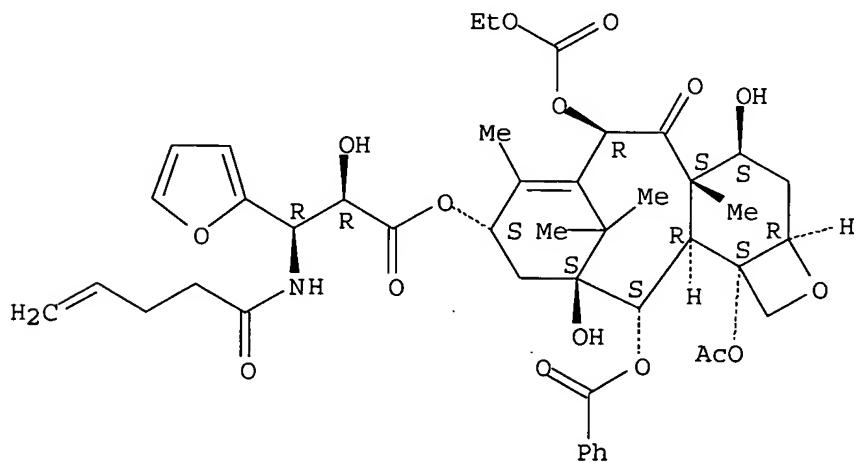
Double bond geometry as shown.



RN 352534-45-3 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(1-oxo-4-pentenyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

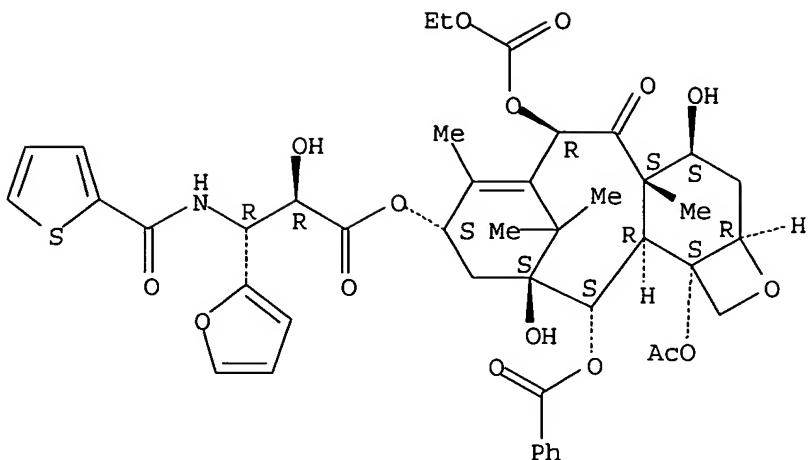
Absolute stereochemistry.



RN 352534-46-4 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(2-thienylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

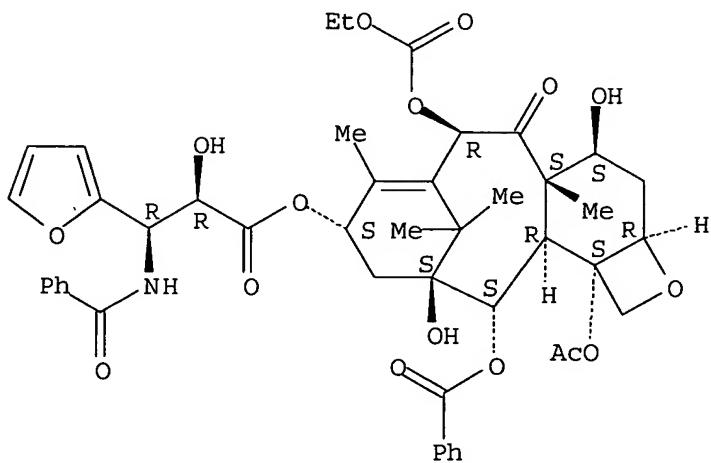
Absolute stereochemistry.



RN 352534-47-5 CAPLUS

CN 2-Furanpropanoic acid, β -(benzoylamino)- α -hydroxy-,
 $(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b$ -(acetyloxy)- 12 -(benzoyloxy)- 6 -
 $[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b$ -dodecahydro- $4,11$ -
dihydroxy- $4a,8,13,13$ -tetramethyl- 5 -oxo- $7,11$ -methano- $1H$ -
cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, ($\alpha R, \beta R$) - (9CI) (CA
INDEX NAME)

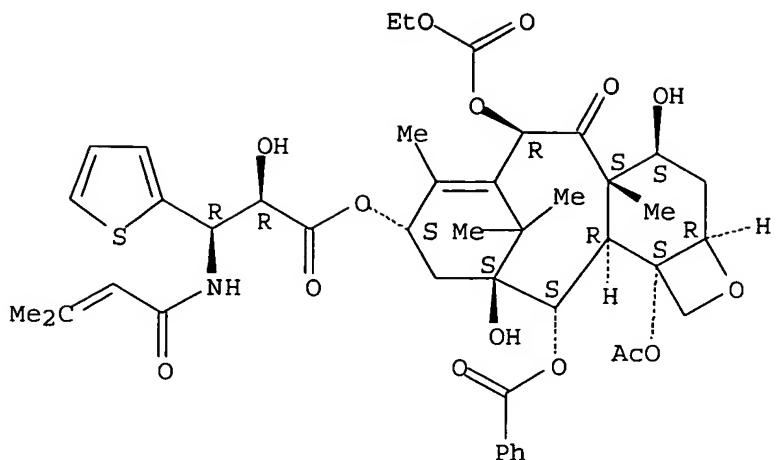
Absolute stereochemistry.



RN 352534-48-6 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(3-methyl-1-oxo-2-
butenyl)amino]-, $(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b$ -(acetyloxy)- 12 -
(benzoyloxy)- 6 - $[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b$ -
dodecahydro- $4,11$ -dihydroxy- $4a,8,13,13$ -tetramethyl- 5 -oxo- $7,11$ -methano- $1H$ -
cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, ($\alpha R, \beta R$) - (9CI) (CA
INDEX NAME)

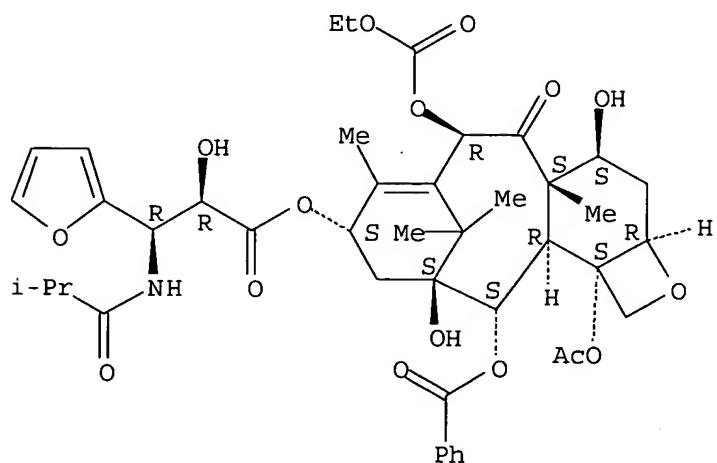
Absolute stereochemistry.



RN 352534-50-0 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(2-methyl-1-oxopropyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetoxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

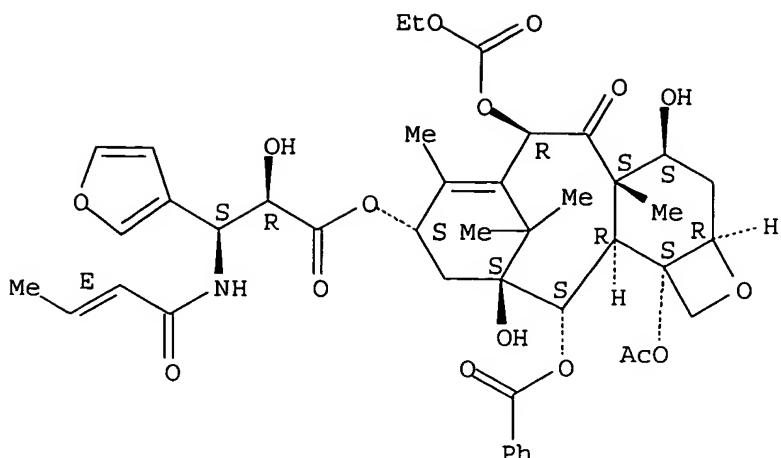


RN 352534-51-1 CAPLUS

CN 3-Furanpropanoic acid, α -hydroxy- β -[(2E)-1-oxo-2-but enyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetoxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

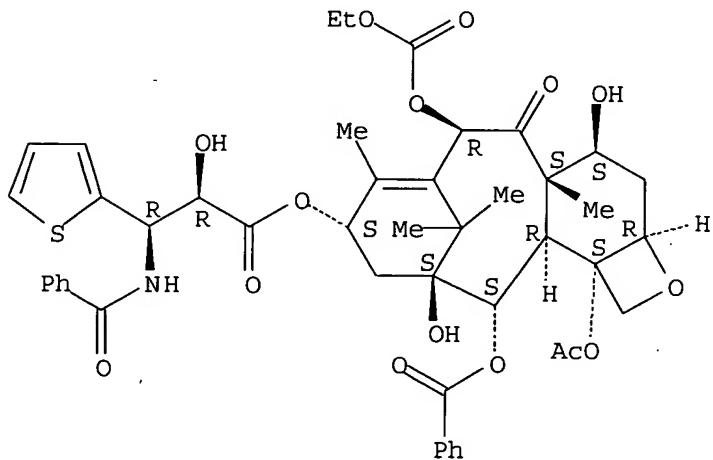
Double bond geometry as shown.



RN 352534-62-4 CAPLUS

CN 2-Thiophenepropanoic acid, β -(benzoylamino)- α -hydroxy-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-
 [(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-
 dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-
 cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER:

2001:581882 CAPLUS

DOCUMENT NUMBER:

135:152987

TITLE:

Preparation and formulation of C10 carbonate substituted taxanes as antitumor agents

Holton, Robert A.

INVENTOR(S):

Florida State University Research Foundation, Inc.,
 USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 81 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057031	A1	20010809	WO 2001-US3588	20010202

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2368151 AA 20010809 CA 2001-2368151 20010202
AU 2001033301 A5 20010814 AU 2001-33301 20010202
BR 2001004779 A 20011226 BR 2001-4779 20010202
EP 1165550 A1 20020102 EP 2001-905420 20010202

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

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NZ 513296 A 20050128 NZ 2001-513296 20010801
NO 2001004753 A 20011129 NO 2001-4753 20011001
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ZA 2001008054 A 20031201 ZA 2001-8054 20011001
ZA 2001008058 A 20031201 ZA 2001-8058 20011001
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PRIORITY APPLN. INFO.:

US 2000-179684P P 20000202
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US 2000-179670P P 20000202
US 2000-179671P P 20000202
US 2000-179672P P 20000202
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US 2000-179793P P 20000202
US 2000-179794P P 20000202
US 2001-776274 A1 20010202
US 2001-776426 A3 20010202
WO 2001-US3588 W 20010202

OTHER SOURCE(S):

MARPAT 135:152987

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Taxanes, such as I [R7, R10 = H, acyl, carboxy, carbamoyl, etc.; X3 = alkyl, alkenyl, alkynyl, Ph, substituted Ph, heteroaryl; X5 = H, acyl, carboxyl, carboxamide, etc.] with improved solubility, were prepared for use as antitumor agents. Thus, taxotere analog II was prepared via esterification of baccatin III derivative III (R7 = COCH2Me, R10 = SiEt3) with β -lactam IV followed by a deprotection step using HF. The prepared taxanes were tested for cytotoxic activity against HCT116 cells. Pharmaceutical formulations of the prepared taxanes were also presented.

IT 352534-31-7P 352534-32-8P 352534-33-9P

352534-34-0P 352534-36-2P 352534-37-3P
352534-38-4P 352534-39-5P 352534-40-8P
352534-41-9P 352534-43-1P 352534-45-3P
352534-46-4P 352534-47-5P 352534-48-6P
352534-50-0P 352534-51-1P 352534-62-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

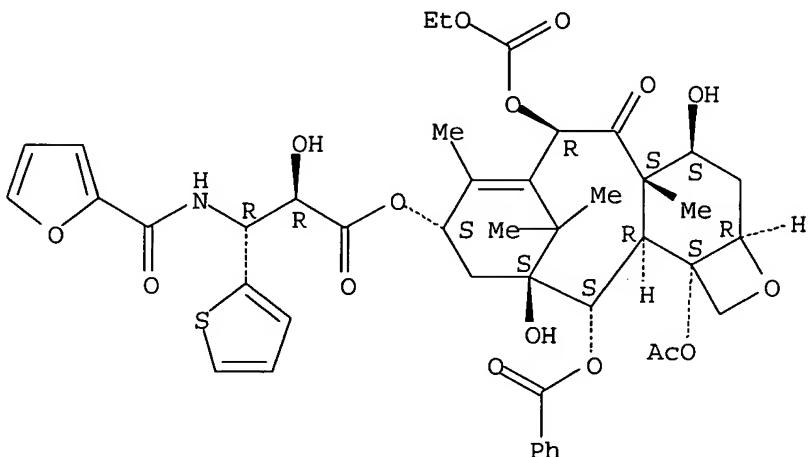
(preparation and formulation of taxanes having improved solubility for pharmaceutical use as antitumor agents)

RN 352534-31-7 CAPLUS

CN 2-Thiophenepropanoic acid, β -[(2-furanylcarbonyl)amino]- α -

hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

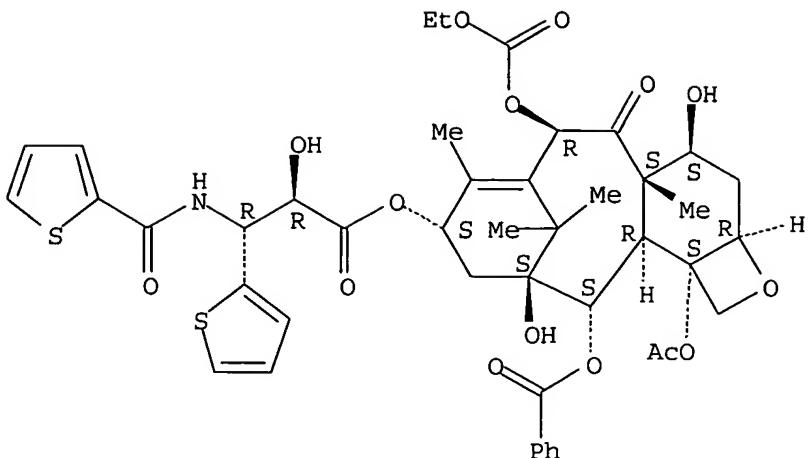
Absolute stereochemistry.



RN 352534-32-8 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(2-thienylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

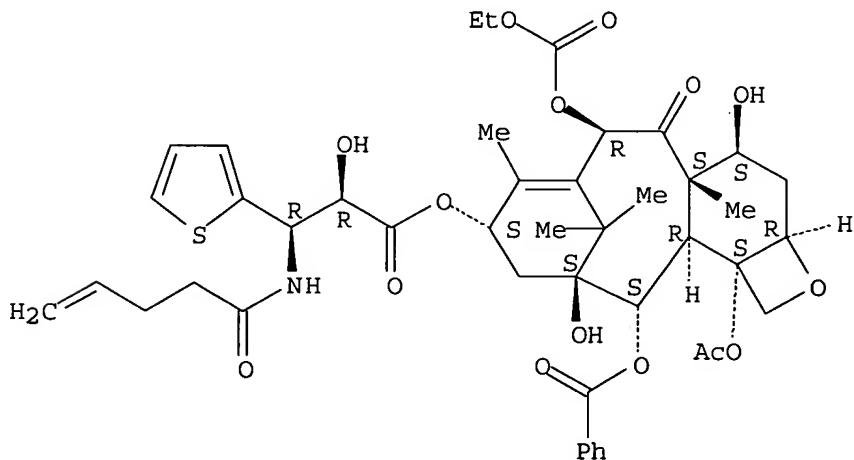
Absolute stereochemistry.



RN 352534-33-9 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(1-oxo-4-pentenyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

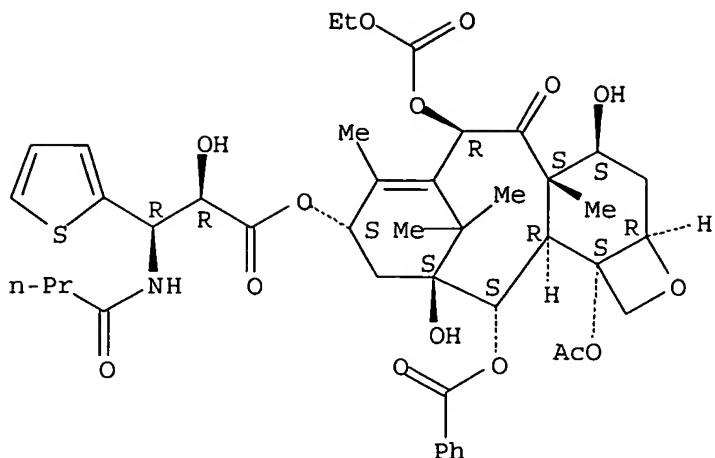
Absolute stereochemistry.



RN 352534-34-0 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(1-oxobutyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

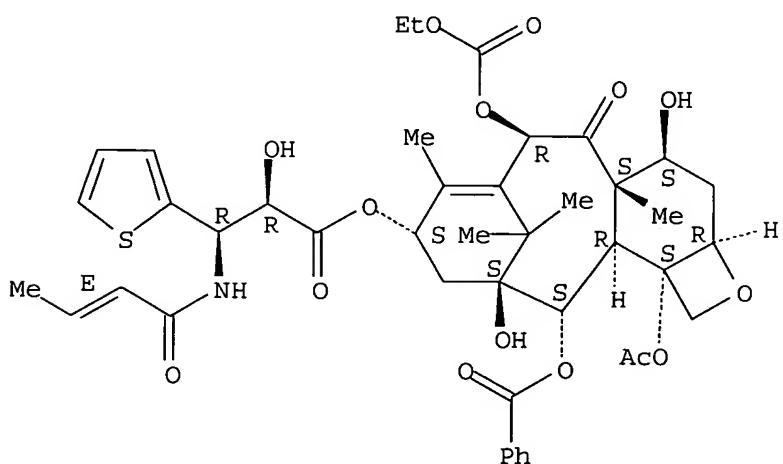


RN 352534-36-2 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(2E)-1-oxo-2-but enyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

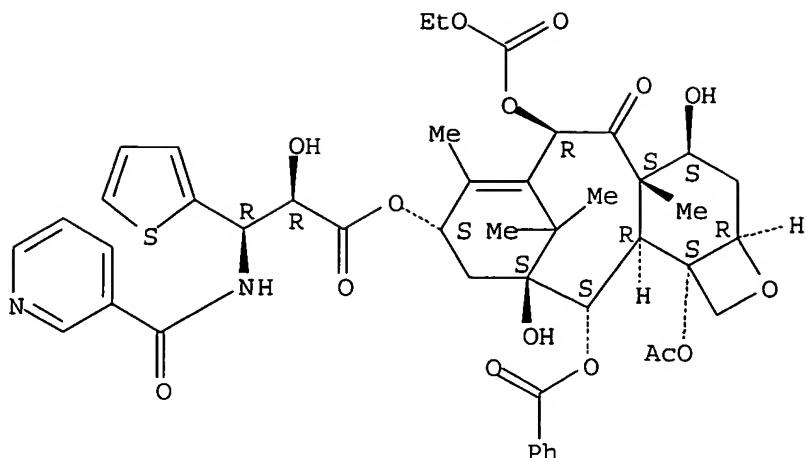
Double bond geometry as shown.



RN 352534-37-3 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(3-pyridinylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

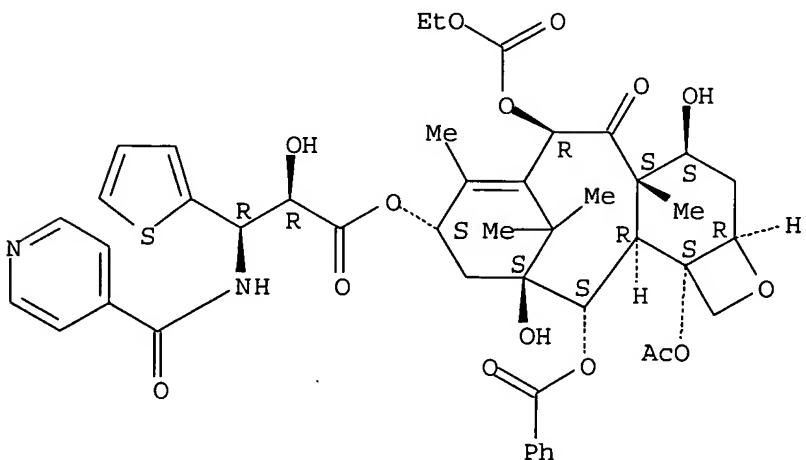
Absolute stereochemistry.



RN 352534-38-4 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(4-pyridinylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

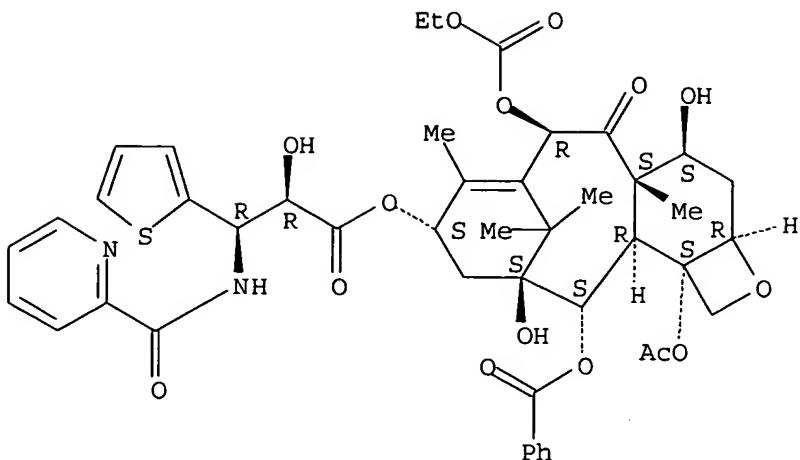
Absolute stereochemistry.



RN 352534-39-5 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(2-pyridinylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

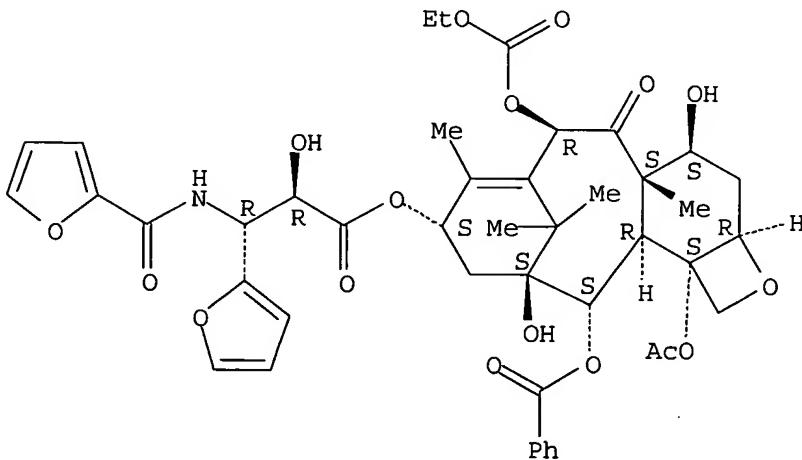
Absolute stereochemistry.



RN 352534-40-8 CAPLUS

CN 2-Furanpropanoic acid, β -[(2-furanylcarbonyl)amino]- α -hydroxy-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-
 [(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-
 dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-
 cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA
 INDEX NAME)

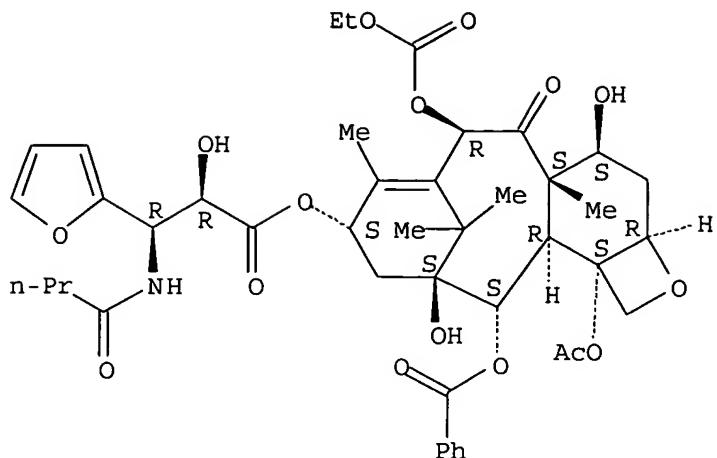
Absolute stereochemistry.



RN 352534-41-9 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(1-oxobutyl)amino]-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-
 [(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-
 dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-
 cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

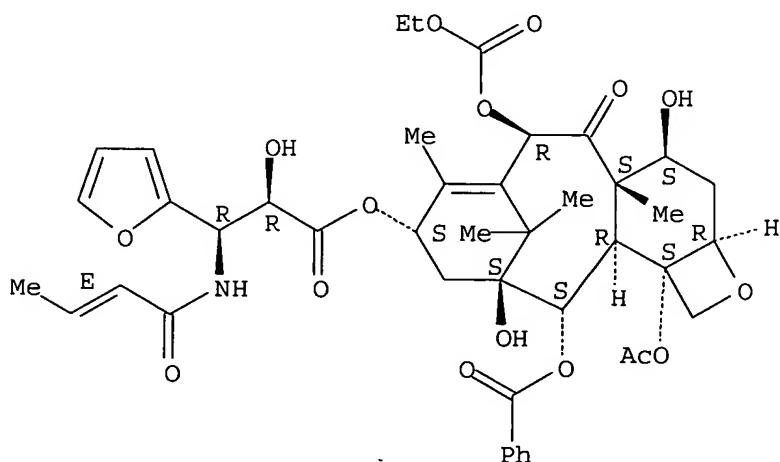


RN 352534-43-1 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

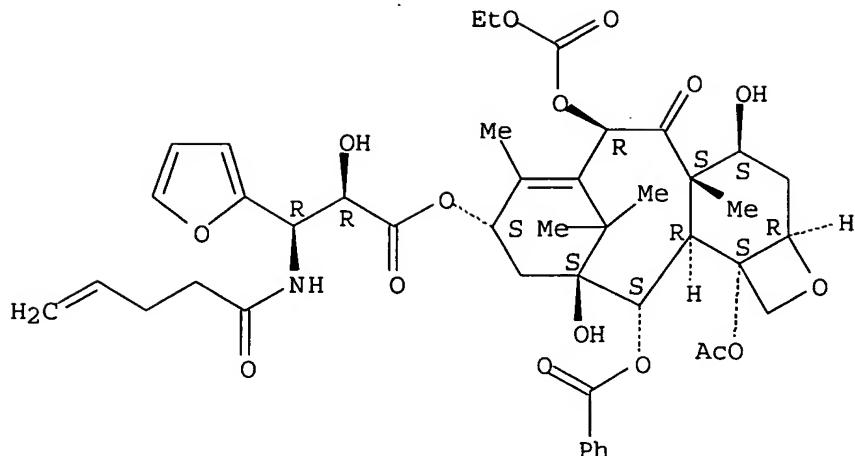
Double bond geometry as shown.



RN 352534-45-3 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(1-oxo-4-pentenyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

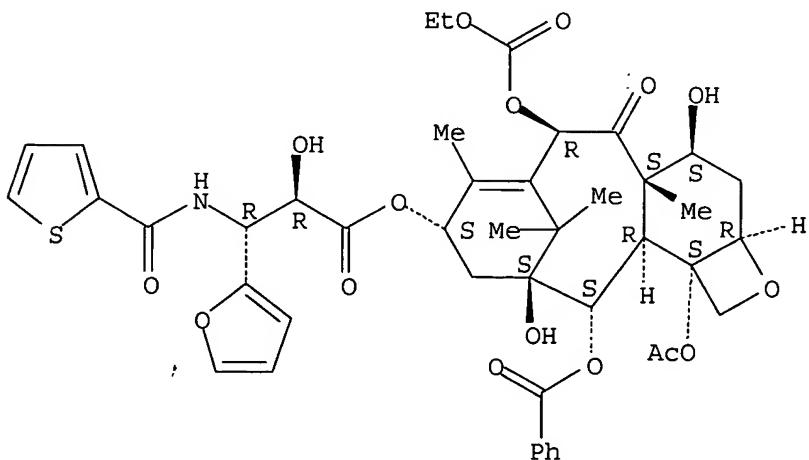
Absolute stereochemistry.



RN 352534-46-4 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(2-thienylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

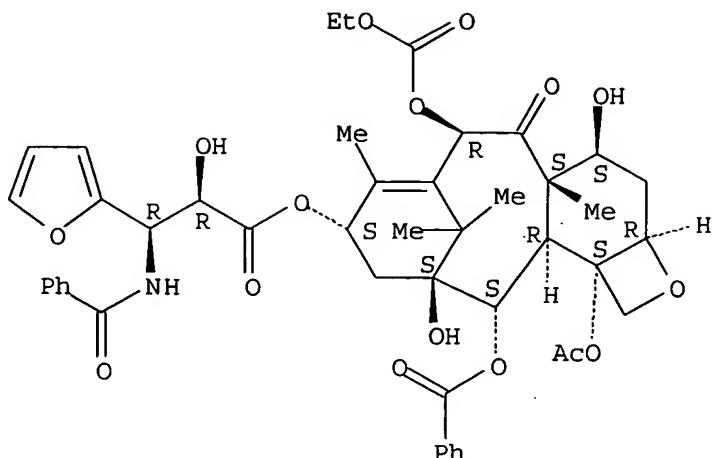
Absolute stereochemistry.



RN 352534-47-5 CAPLUS

CN 2-Furanpropanoic acid, β -(benzoylamino)- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

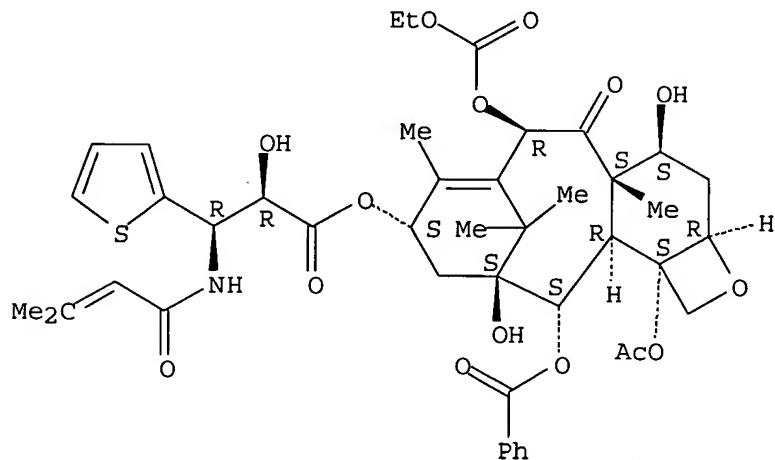
Absolute stereochemistry.



RN 352534-48-6 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[(3-methyl-1-oxo-2-but enyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

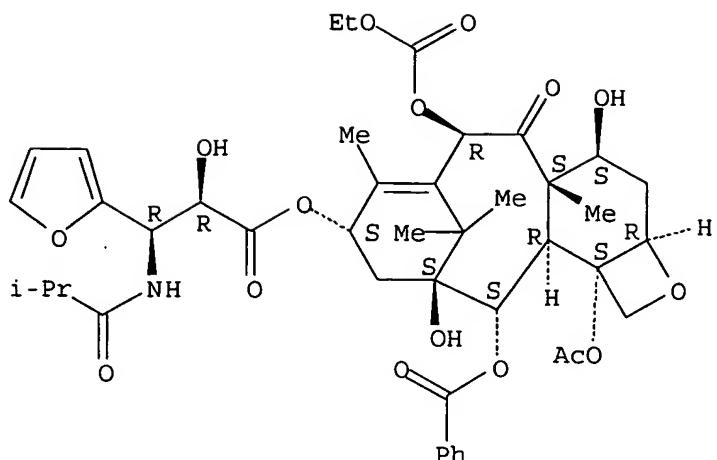
Absolute stereochemistry.



RN 352534-50-0 CAPLUS

CN 2-Furanpropanoic acid, α -hydroxy- β -[(2-methyl-1-oxopropyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

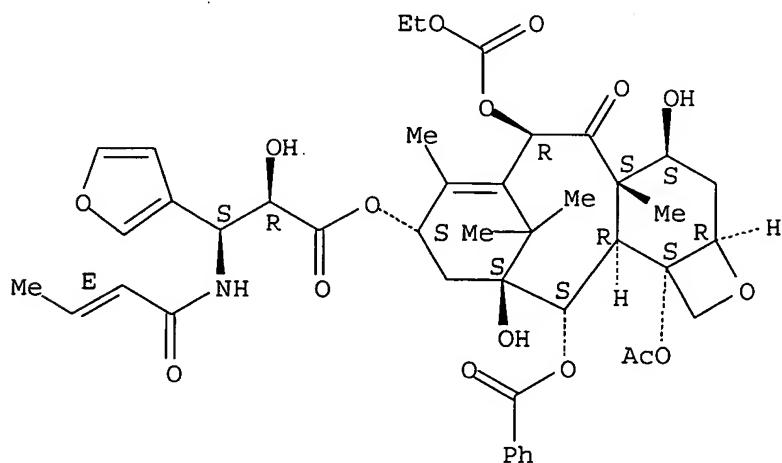


RN 352534-51-1 CAPLUS

CN 3-Furanpropanoic acid, α -hydroxy- β -[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetoxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

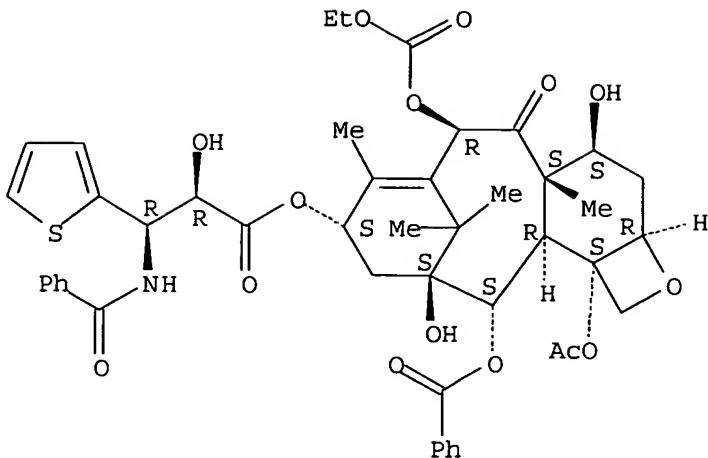
Double bond geometry as shown.



RN 352534-62-4 CAPLUS

CN 2-Thiophenepropanoic acid, β -(benzoylamino)- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetoxy)-12-(benzoyloxy)-6-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 2001:581864 CAPLUS
 DOCUMENT NUMBER: 135:152983
 TITLE: Preparation and formulation of taxanes having improved solubility for pharmaceutical use as antitumor agents
 INVENTOR(S): Holton, Robert A.
 PATENT ASSIGNEE(S): Florida State University Research Foundation, Inc., USA
 SOURCE: PCT Int. Appl., 319 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057013	A1	20010809	WO 2001-US3624	20010202
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2367661	AA	20010809	CA 2001-2367661	20010202
AU 2001034810	A5	20010814	AU 2001-34810	20010202
US 2001051639	A1	20011213	US 2001-776492	20010202
US 6649632	B2	20031118		
BR 2001004358	A	20020102	BR 2001-4358	20010202
EP 1175414	A1	20020130	EP 2001-906972	20010202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002052403	A1	20020502	US 2001-776426	20010202
US 6638973	B2	20031028		
JP 2003521545	T2	20030715	JP 2001-556863	20010202
NZ 514073	A	20040227	NZ 2001-514073	20010202
CA 2354471	AA	20030131	CA 2001-2354471	20010731
ZA 2001006333	A	20020801	ZA 2001-6333	20010801
NO 2001004752	A	20011127	NO 2001-4752	20011001
ZA 2001008055	A	20031201	ZA 2001-8055	20011001
US 2003060638	A1	20030327	US 2002-71924	20020206
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US 2004024051	A1	20040205	US 2003-609301	20030627

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US 2004072872	A1	20040415	US 2003-676222	20031001
US 2004097579	A1	20040520	US 2003-680649	20031007
US 2004087547	A1	20040506	US 2003-720826	20031124
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US 2004122055	A1	20040624	US 2003-720615	20031124
US 2004138267	A1	20040715	US 2003-743581	20031222
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PRIORITY APPLN. INFO.:

US 2000-179669P	P 20000202
US 2000-179670P	P 20000202
US 2000-179671P	P 20000202
US 2000-179672P	P 20000202
US 2000-179674P	P 20000202
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US 2000-179794P	P 20000202
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US 2001-776137	A1 20010202
US 2001-776274	A1 20010202
US 2001-776393	A1 20010202
US 2001-776426	A3 20010202
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WO 2001-US3624	W 20010202
US 2002-71924	A1 20020206

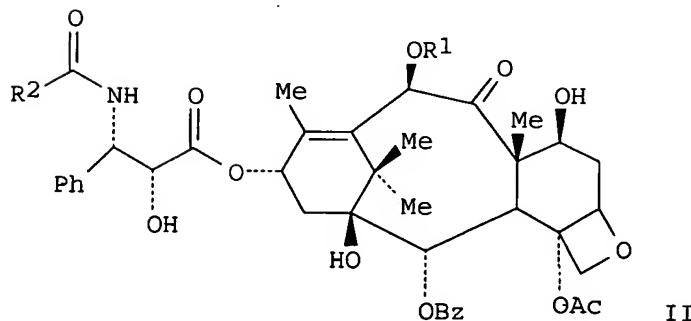
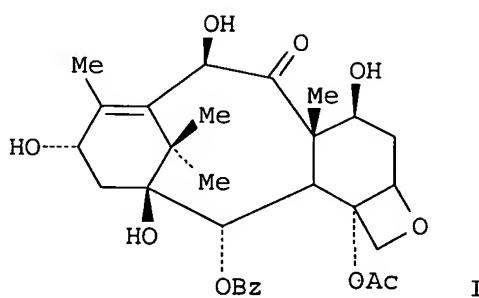
OTHER SOURCE(S):

MARPAT 135:152983

REFERENCE COUNT:

22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
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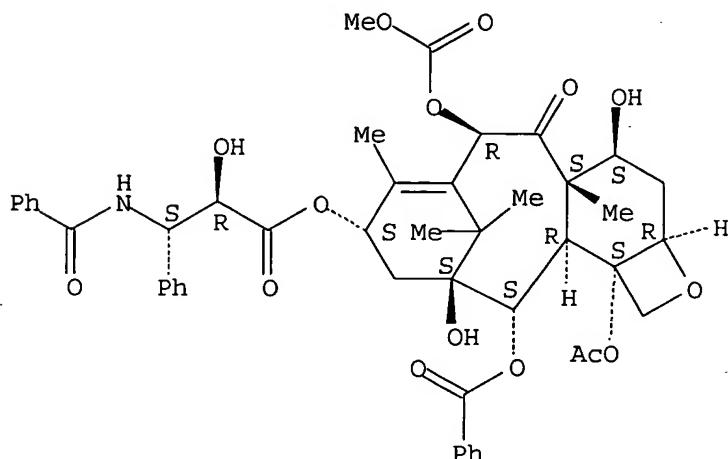
AB A chemoselective approach to functionalize the C-10 position of 10-deacetyl baccatin III (I), a key intermediate for the semi-synthesis of paclitaxel, is described. The chemical provides an easy access to a variety of C-10 hydroxyl derivs., such as, ethers, esters, carbonates, carbamates, and sulfonates under mild conditions. The C-10 modified baccatin derivs. were further employed in the synthesis of novel biol. active Taxol analogs, e.g. II (R1 = Ac, R2 = OCMe3).

IT 160084-82-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and neoplasm-inhibiting activity of)

RN 160084-82-2 CAPLUS

CN Benzenepropanoic acid, β -(benzoylamino)- α -hydroxy-, (2aR,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-6-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER:

1995:46562 CAPLUS

DOCUMENT NUMBER:

122:56247

TITLE:

A chemoselective approach to functionalize the C-10 position of 1-deacetyl baccatin III. Synthesis and

biological properties of novel C-10 Taxol analogs

Kant, Joydeep; O'Keeffe, Wendy S.; Chen, Shu-Hui; Farina, Vittorio; Fairchild, Craig; Johnston, Kathy; Kadow, John F.; Long, Byron H.; Vyas, Dolatrai Bristol-Myers Squibb Pharm. Res. Inst., Wallingford, CT, 06492-7660, USA

AUTHOR(S):

Tetrahedron Letters (1994), 35(31), 5543-6

CORPORATE SOURCE:

CODEN: TELEAY; ISSN: 0040-4039

SOURCE:

Journal

DOCUMENT TYPE:

Language

LANGUAGE:

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